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The comparative study of the bioactivity of polyquinone and corresponding derivatives

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Abstract

Quinoneandcorrespondingcompoundsavailableinliteratureshowsremarkablebioactivityagainstvarious microbes which include bacteria, fungi and the most prominently viruses. The bioactivity of any biomoleculeis rest on on various parameters like compound itself, target system, surrounding excreta. This paper has enlightened on bioactivity of quinone based polymers and structural effects how they emphasize, work effectivity against microbes. During the experimental condition different prepared polyquiones and their derivatives are used to check the bioactivity against various pathogenic cultures of bacteria, viruses and fungi.Forthefewtargetedpathogenicculturesextremely,goodresultsareobtainedwhichalsojustifiesthe QSAR of the molecule.

Keywords

Quinone,quinonicderivatives,bioactivity,structuraleffect,pathogenicactivity

Introduction

Quinonesaretheclassofcompoundswhichrespondsagainstwiderangeofpathogens.Alongwithquinones aminesarealsoshowingbioactivitiesagainstspecialrangeofpathogens.[5]Thepolymerspreparedwith the help of these compounds were tested for bioactivity against various reaction conditions. [8] For the checkingofbioactivitydifferentmethodsareavailablelikediskdiffusionmethod,microdilutiontechnique, borth method and agar method [10]. Among all listed methods for the experiment Agar dilution and microdilution method is used. For the checking of bioactivity during the experimental condition we have usedthreedifferenttypesoffunginamelyAsperillusflavus,Candidaalbicans[2]andAspergillusnigerand threebacteriawhichincludetwo-grampositivebacteriaandone-gramnegativebacteria.Thegram-positive bacteriaincludestaphylococcusaureusandBacillussubtilis.ThegramnegativestrainofEscherichiacoli.

Experimental

During the experimental condition synthesized desire compounds were subjected for testing of invitro antimicrobialactivity.Asperdescribedinintroduction,theantifungalactivitywasevaluatedagainstthree fungi stains A. flavus [NCIM-539], C.albicans[NICM-3471] and A. niger [NICM-1196]. The two gram positivebacterialstrainsofS.aureus[NICM-2901],B.subtilus[NICM-2063]andgramnegativebacteriae. coil [NICM-2256]. For studying antimicrobial properties of compounds, Minimum Inhibitory Concentration (MIC,µg/mL),MinimumBacterialConcentration(MBC)andMinimumFungicidalConcentration(MFC) were studied by modified microdilution technique. For Fungal strains agar dilution technique, on Potato DextroseAgar(PDA)MediumwereusedforMICdetermination.TheMBCandMFCofcompoundswere determined by serial sub cultivation after inoculated for 72 h with tested compounds dissolved in saline containing 5% DMSO. The lowest concentration with no visible growth was defined as MBC/MFC indicating 99.5% killing of the original inoculums. [6] All the experiments performed in triplicates and mean reading is taken as final reading. 5% DMSO was used as a negative control along with Fluconazole and Miconazole as the standard antifungal drugs and Ciprofloxacin as the standard antibacterial drugs For bacterial strains MIC determination were done by a serial of microdilution technique using 96-well microtiter plate reader. Compounds are prepared in saline (0.8% NaCl) solution containing 5% Dimethyl sulfoxide (DMSO) for dissolution. All microbial strains were incubated with different concentration of each compoundin 96-well microtiter plate for 20 h at 37 oC on Rotary shaker (160 rpm). After incubation the lowest concentration value without growth were defined as MICs.

Thecompoundsusedfortheanalysishasstructurelike

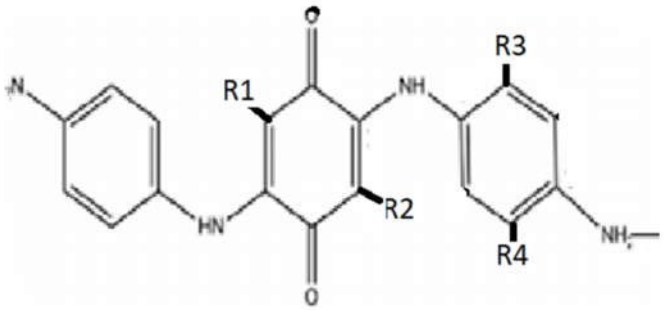


Table1:Detailsaboutcompoundsubstituents

Compound	R1	R2	R3	R4
1	H	H	H	H
2	Cl	H	H	H
3	Cl	Cl	H	H
4	H	H	Cl	H
5	H	H	Cl	Cl

The polyquinone preparedwiththe help of quninone and phenylene diaminealong withmono chloro, dichloroderivativesareusedtocheckthebioactivity.Allabovepolymericspeciesvarywithpolargroup substituentstherefortheyshowvariationinbioactivityastheirmodeofinteractionvaries.Polarityofthe compoundsinfluencesmoreforbindingofcompoundswithpathogensandthereforevariousresultsare observed.

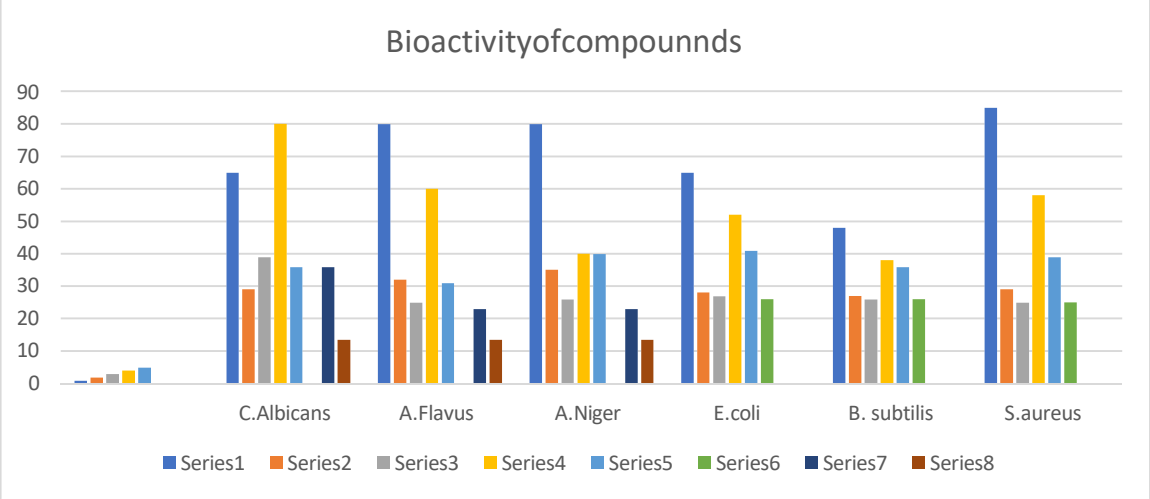
Observations

Table2:Observationsforantipathogenicactivity

Compounds	MICvalues[a](µg/ml)					
	C.Albicans	*A.Flavus*	*A.Niger*	*E.coli*	*B.subtilis*	*S.aureus*
1	65	80	80	65	48	85
2	29	32	35	28	27	29
3	39	25	26	27	26	25
4	80	60	40	52	38	58
5	36	31	40	41	36	39
Ciprofloxacin	-	-	-	26	26	25
Fluconazole	36	23	23	-	-	-
Miconazole	13.5	13.5	13.5	-	-	-
Allthevaluesaretakenasaverageofthreereadings.						

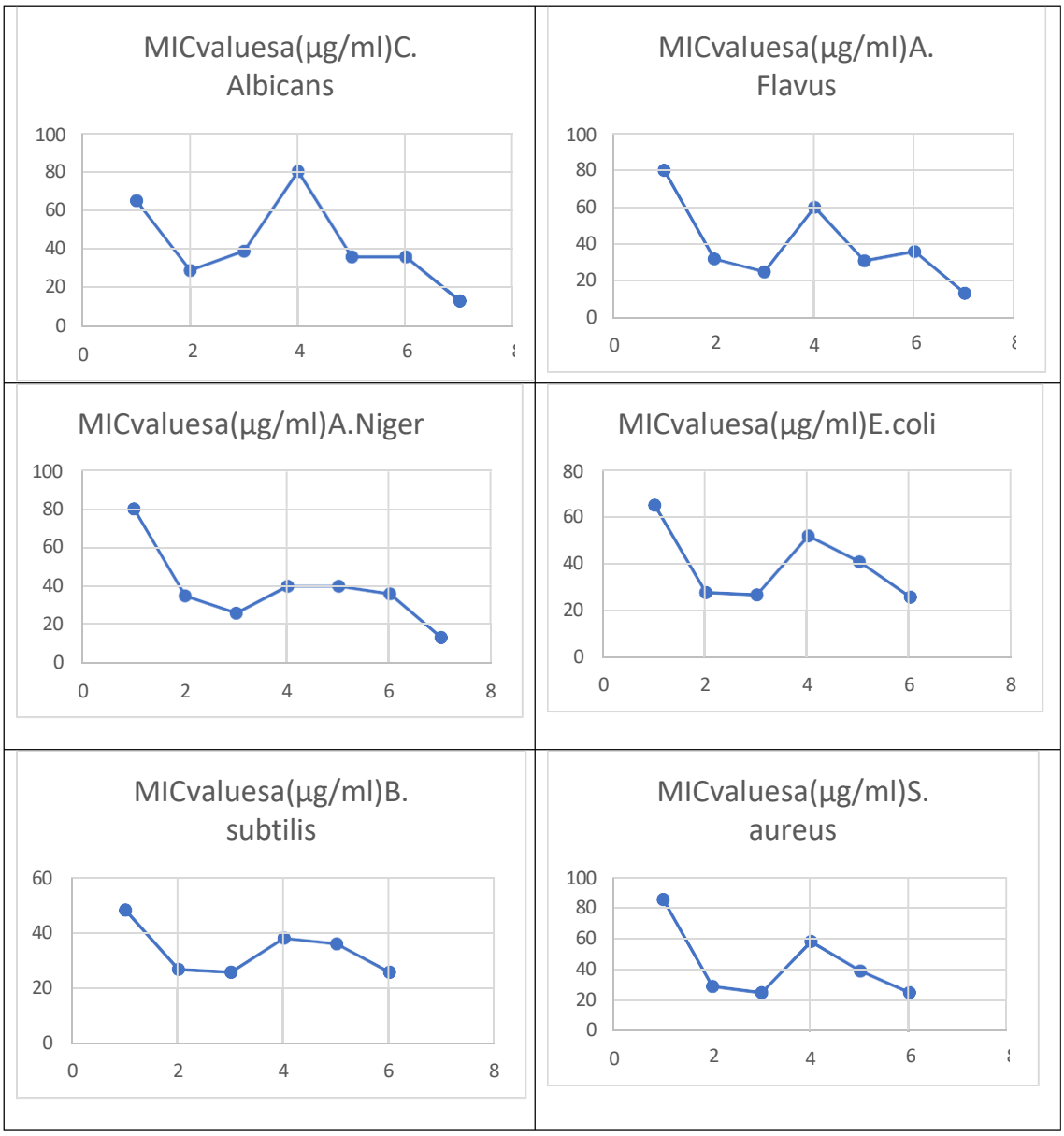
Graph

Graph1:Bioactivity observed forpolyquinones



Resultanddiscussion

Table3:Individualgraphsforinteractionofvariouscompoundsagainstpathogens



Duringtheexperimentalconditionalithasbeenobservedthatallthepolymericcompoundsarebioactivein naturebutvaryorinteractdifferentlytoshowminimuminhibitoryconcentration[MIC],minimumbacterial concentration[MBC],minimumfungalconcentration[MFC].Allthecompoundsdifferwithresultsdueto the variation in structures, substitution effect, polarity of compound, interaction of compound with media and binding with pathogen. QSAR plays important roll. [7]. Along with this nature of pathogen, size of pathogenanditsactivityalltogetherimpactedonMICvalues[3].Theconcentrationofpathogenhasimpact onMICvalue[4]Basepolymericcompoundislesspolarinnatureandshowsleastbioactivityascompared toallreamingtreatedpolyquinoniccompoundswhereascompounds2and3hasshowedhighestbioactivity and both are chloro-substituted compounds. As compared compound 2, compound 3 has shown more pathogenic activity with minimum MIC as it the dichloro-derivative and more polar as compared to compound1and2.Againamongcompound4and5,dichloro-derivativehasshownmorebioactivityas

compared to monochloro-derivative. The second polymer shows highest bioactivity against C. Albicans whereas Fourthpolymer showsleastbioactivityascomparedtofluconazole. ForA.Flavuspolyquionethree shows good inhibitoryactivityfollowed bysecondand fifth polymers has showedcomparable bioactivity andforA.Flavusfirstpolymerhasshowedleastinhibitoryactivity.ForthefungiA.Nigerstraincompound threeismosteffectivefollowedbysurprisinglypolymerfourandfivehasshownsameinteraction.Incase ofgramnegativeBacterialE.coil,ithasbeenobservedcompoundthreeshowscomparablebioactivitywith Ciprofloxacinbut remainingall compoundswereless effective.Forremainingtwo-gram positive bacteria B.subtilisandB.subtiliscompoundthreehasshowedcomparableinhibitoryactivity.S.Aureushasshowed least pathogenic activity as compared to standard antibiotic.

Conclusion

After performing experiment and testing bioactivities for synthesized polymeric compounds it has been observedthatallpolymericcompoundsshowbioactivitiesandvarywithMICduetostructuraleffectsalong withthispolarityinfluencesthepathogenicactivity.AmongalldevelopedcompoundsCompoundthreehas showed satisfactory bioactivity as compared to all remain compounds.

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